```
* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
 * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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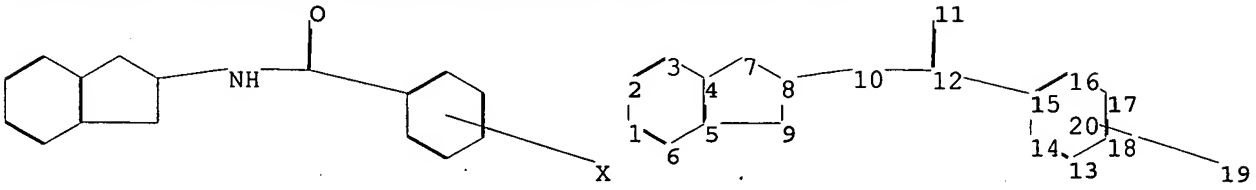


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ring nodes :
1  2  3  4  5  6  7  8  9  13  14  15  16  17  18
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8-10  10-12  11-12  12-15
ring bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-9  7-8  8-9  13-14  13-18  14-15  15-16  16-17
 17-18
exact/norm bonds :
8-10  10-12  11-12
exact bonds :
4-7  5-9  7-8  8-9  12-15
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  13-14  13-18  14-15  15-16  16-17  17-18
isolated ring systems :
containing 1 : 13 :


Match level :
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11:CLASS  12:CLASS  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:CLASS
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L1      STRUCTURE UPLOADED

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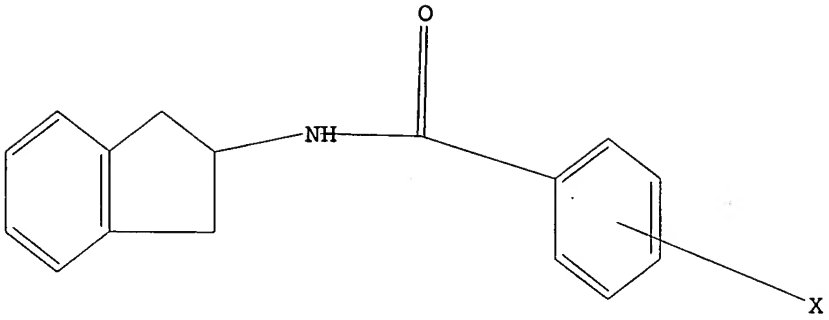

Structure attributes must be viewed using STN Express query preparation.

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                  (PD<19990300)
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=> dis l5 1-11 bib abs hitstr

L5   ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   1997:579715  CAPLUS
DN   127:278213
TI   Imidazole-containing benzodiazepines and analogs as inhibitors of farnesyl
     protein transferase
IN   Ding, Charles Z.; Hunt, John T.; Kim, Soong-hoon; Mitt, Toomis; Bhide,
     Rajeev; Leftheris, Katerina
PA   Bristol-Myers Squibb Co., USA
SO   PCT Int. Appl., 425 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   WO 9730992           A1     19970828    WO 1997-US2920          19970224 <--
         W:  AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
             LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
             MR, NE, SN, TD, TG
     US 6011029            A      20000104    US 1997-802329          19970220
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AU 9721366	A1	19970910	AU 1997-21366	19970224	<--
AU 718676	B2	20000420			
EP 892797	A1	19990127	EP 1997-906761	19970224	<--
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CN 1214685	A	19990421	CN 1997-192535	19970224	
BR 9707614	A	19990727	BR 1997-7614	19970224	
JP 2000502356	T2	20000229	JP 1997-530395	19970224	
NZ 330287	A	20000327	NZ 1997-330287	19970224	
IL 141908	A1	20030410	IL 1997-141908	19970224	
CA 2239187	C	20030422	CA 1997-2239187	19970224	<--
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RU 2225405	C2	20040310	RU 1998-117798	19970224	
EE 4309	B1	20040615	EE 1998-262	19970224	
EP 1481975	A1	20041201	EP 2004-16347	19970224	
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TW 496863	B	20020801	TW 1997-86102668	19970305	
LV 12150	B	19981220	LV 1998-129	19980604	<--
NO 9803892	A	19980825	NO 1998-3892	19980825	<--
LT 4552	B	19991025	LT 1998-120	19980825	
US 6455523	B1	20020924	US 1999-374210	19990813	
CN 1347881	A	20020508	CN 2001-141154	20010927	
PRAI US 1996-12265P	P	19960226			
US 1996-22805P	P	19960725			
US 1997-802329	A3	19970220			
EP 1997-906761	A3	19970224			
IL 1997-124197	A3	19970224			
WO 1997-US2920	W	19970224			

OS MARPAT 127:278213

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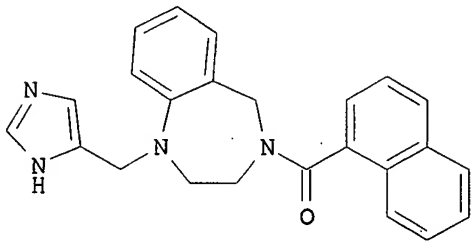


I

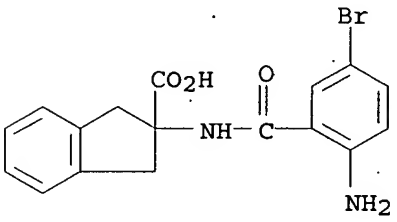
AB The invention relates to a series of imidazole-substituted benzodiazepines and analogs that inhibit farnesyl-protein transferase (FPT) and ras protein farnesylation, thereby being useful as anti-cancer agents. The compds. are also useful in the treatment of diseases, other than cancer, associated with signal transduction pathways operating through ras, and those associated with proteins other than ras that are also post-translationally modified by FPT. The compds. may also act as inhibitors of other prenyl transferases, and thus be effective in the treatment of diseases associated with other prenyl modifications of proteins. Over 430 synthetic examples are given. For instance, 2,3,4,5-tetrahydro-1H-1,4-benzodiazepine was N-acylated by 1-naphthoic acid Ph ester in the presence of DMAP, and the product was reductively alkylated by 4-formylimidazole in the presence of NaBH(OAc)3 to give title compound I, isolated as the HCl salt. The example

compds. inhibited FPT with IC50 values between 0.1 nM and 100 μM.

IT **195986-33-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazole-containing benzodiazepines and analogs
as inhibitors of farnesyl protein transferase)

RN 195986-33-5 CAPLUS

CN 1H-Indene-2-carboxylic acid, 2-[(2-amino-5-bromobenzoyl)amino]-2,3-dihydro- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:281064 CAPLUS

DN 127:5099

TI Preparation of pyridazine derivatives for the treatment of endotoxin shock and kidney diseases

IN Ishida, Akihiko; Honma, Koichi; Tanifuji, Michihisa; Nishama, Nobusuke; Okumura, Fumikazu

PA Tanabe Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09071534	A2	19970318	JP 1996-164798	19960625 <--
PRAI	JP 1995-159261	A	19950626		

OS MARPAT 127:5099

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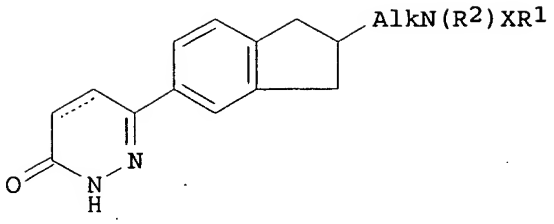

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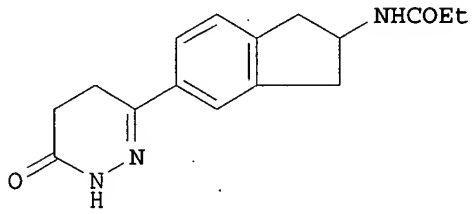


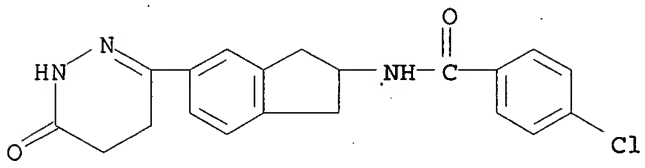
II

AB The title compds. I [R1 = alkyl, etc.; R2 = H, alkyl; X = CO, etc.; Alk = bond, alkylene; dotted line indicates optional double bond] are prepared When treated with the title compound II at 100 mg/kg orally, mice with endotoxin shock showed 90% survival.

IT **166979-49-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridazine derivs. for the treatment of endotoxin shock and kidney diseases)

RN 166979-49-3 CAPLUS

CN Benzamide, 4-chloro-N-[2,3-dihydro-5-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:251109 CAPLUS

DN 126:238314

TI Preparation of bicyclic amidine derivatives as nitric oxide synthetase inhibitors

IN MacDonald, James Edwin; Shakespeare, William Calvin; Murray, Robert John; Matz, James Russell

PA Astra Ab, Swed.; Astra Pharmaceuticals Limited

SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9706158	A1	19970220	WO 1995-GB1896	19950810 <--

W: AM, AT, BB, BG, BR, BY, CH, CN, CZ, DE, DK, EE, ES, GB, GE, HU, IS, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN
RW: KE, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

BR 9509297	A	19980707	BR 1995-9297	19950810	<--
RU 2155761	C2	20000910	RU 1997-107473	19950810	
SK 281442	B6	20010312	SK 1997-390	19950810	
CZ 287969	B6	20010314	CZ 1997-1086	19950810	
IL 115482	A1	20010808	IL 1995-115482	19951002	
CN 1162310	A	19971015	CN 1995-195570	19960810	<--
PRAI WO 1995-GB1896	A	19950810			

OS MARPAT 126:238314

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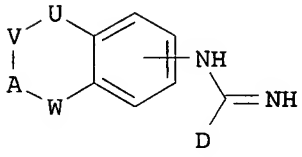

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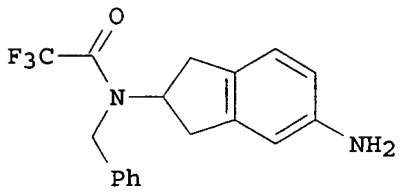

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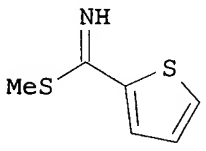

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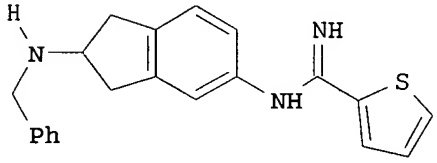


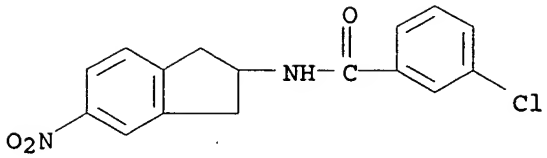
IV

AB The title compds. [I; D = (un)substituted 5-membered heterocyclic aromatic ring containing 1-4 heteroatoms (O, N, S); A = N(X), CH[(CH2)mNXY] (wherein X, Y = H, C1-6 alkyl, etc.; NXY = piperidinyl, morpholinyl, etc.); U = NH, O, CH2; V = (CH2)a; W = (CH2)b (wherein a, b = 0-3)] and their salts, useful for the treatment or prophylaxis of neurodegenerative disorders, of migraine or for prevention and reversal of tolerance to opiates and diazepines or for the treatment of drug addiction, were prepared Thus, reaction of 5-aminoindane II with carboximide III.HI in iPrOH/DMF for 14 h followed by treatment of the reaction mixture with 2N NaOH afforded 30% IV.dioxalate which showed IC50 < 10 μM against the neuronal isoform of nitric oxide synthetase.

IT **181634-18-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic amidine derivs. as nitric oxide synthetase inhibitors)

RN 181634-18-4 CAPLUS

CN Benzamide, 3-chloro-N-(2,3-dihydro-5-nitro-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:596164 CAPLUS
DN 125:221608
TI Preparation of isoquinolinyl- and indanylcarbamimidothioic acid esters as nitric oxide synthase inhibitors
IN Macdonald, James Edwin
PA Astra Aktiebolag, Swed.
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9624588	A1	19960815	WO 1996-SE162	19960209 <--
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
	TW 397812	B	20000711	TW 1996-85100971	19960126
	IL 116966	A1	20000217	IL 1996-116966	19960130
	ZA 9600972	A	19960812	ZA 1996-972	19960207 <--
	CA 2211299	AA	19960815	CA 1996-2211299	19960209 <--
	AU 9647347	A1	19960827	AU 1996-47347	19960209 <--
	AU 699546	B2	19981203		
	EP 808307	A1	19971126	EP 1996-903295	19960209 <--
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	CN 1181070	A	19980506	CN 1996-193123	19960209 <--
	JP 10513194	T2	19981215	JP 1996-524210	19960209 <--
	AT 188689	E	20000115	AT 1996-903295	19960209
	ES 2143181	T3	20000501	ES 1996-903295	19960209
	RU 2157802	C2	20001020	RU 1997-115107	19960209
	SK 281205	B6	20010118	SK 1997-1040	19960209
	EE 3439	B1	20010615	EE 1997-168	19960209
	US 5786364	A	19980728	US 1996-615254	19960308 <--
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	NO 309036	B1	20001204		
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	US 6040314	A	20000321	US 1998-73726	19980507
	GR 3033135	T3	20000831	GR 2000-400828	20000404
PRAI	GB 1995-2669	A	19950211		
	GB 1995-2670	A	19950211		
	WO 1996-SE162	W	19960209		

OS CASREACT 125:221608; MARPAT 125:221608
GI

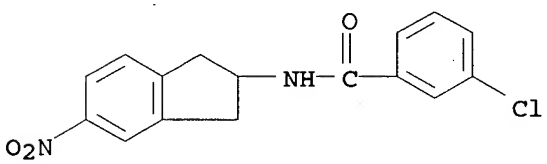
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; D = C1-6 alkyl; T = C3-5 (substituted) (un)saturated alkylene; (substituted) O(CH2)2NH, etc.], particularly useful in the treatment or prophylaxis of neurodegenerative disorders or of migraine, were prepared Thus, reaction of 2-amino-5-nitroindane.HCl with 3-ClC6H4COCl followed by reduction of indane II with BH3.THF, N-methylation of the intermediate III with HCHO, NO2-reduction of 5-nitroindane IV with Zn/AcOH, reaction of 5-nitroindane V with C6H5CONCS, removal of benzoyl group of the intermediate VI and treatment of the corresponding thiourea with MeSO3H and then with MeSO3Et afforded I [D = Et; T = CH2(3-ClC6H4CH2)CHCH2] which showed IC50 of < 10 μM against nitric oxide synthase.

IT **181634-18-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of isoquinolinyl- and indanylcarbamimidothioic acid esters as nitric oxide synthase inhibitors)

RN 181634-18-4 CAPLUS

CN Benzamide, 3-chloro-N-(2,3-dihydro-5-nitro-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:758807 CAPLUS

DN 123:169643

TI Preparation of pyridazinonylindanes useful for the treatment of endotoxic shock and/or nephritis

IN Ishida, Akihiko; Homma, Koichi; Yato, Michihisa; Nishiyama, Shinsuke; Okumura, Fumikazu

PA Tanabe Seiyaku Co., Ltd., Japan

SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 661274	A1	19950705	EP 1994-120822	19941228 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
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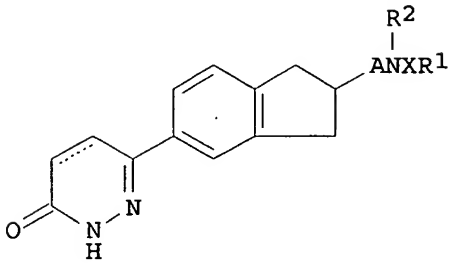
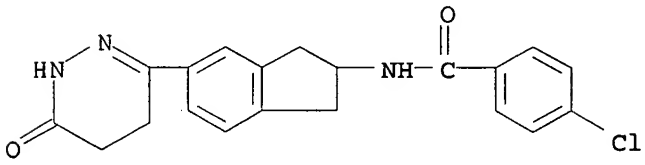
	JP 07233151	A2	19950905	JP 1994-321978	19941226 <--
	JP 2757352	B2	19980525		
	CN 1107843	A	19950906	CN 1994-113329	19941228 <--
	US 5605901	A	19970225	US 1994-365289	19941228 <--
	US 5543409	A	19960806	US 1995-445202	19950523 <--
PRAI	JP 1993-333967	A	19931228		

US 1994-365289 A3 19941228
OS MARPAT 123:169643
GI



I

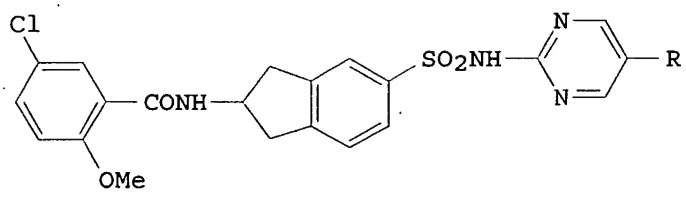
AB The title compds. [I; A = direct bond, lower alkylene; R1 = (un)substituted aryl, cycloalkyl, alkenyl, (un)substituted mono- or bicyclic aromatic heterocyclyl, etc.; R2 = H, lower alkyl; X = CO, CS; the dotted line represents an optional double bond], useful for treating endotoxic shock and/or nephritis, are prepared Thus, 2-amino-5-[4,5-dihydropyridazin-3(2H)-on-6-yl]indane was amidated with butyryl chloride, producing 2-butyrylamino-5-[4,5-dihydropyridazin-3(2H)-on-6-yl]indane (II), m.p. 214-215°. Mice which were administered 100 mg/kg II (p.o.) and subsequently injected (i.p.) with E. coli endotoxin, had a 100% survival rate, vs. 20% for control mice.
IT **166979-49-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridazinonylindanes useful for endotoxic shock and/or nephritis)
RN 166979-49-3 CAPLUS
CN Benzamide, 4-chloro-N-[2,3-dihydro-5-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



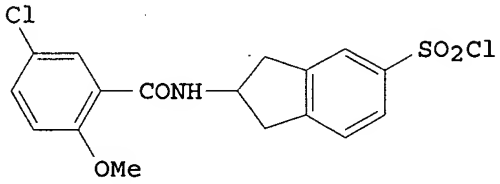
L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:198423 CAPLUS
DN 92:198423
TI Antidiabetic active sulfonamide
IN Heerdt, Ruth; Huebner, Manfred; Schmidt, Felix Helmut; Stach, Kurt; Muth, Karl
PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SO Ger., 4 pp. Addn. and Division of Ger. 1,670,282.
CODEN: GWXXAW
DT Patent
LA German
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	DE 1795842	B1	19800110	DE 1967-1795842	19680518 <--
	DE 1795842	C2	19800918		
PRAI	DE 1967-1795842	A	19680518		

GI



I



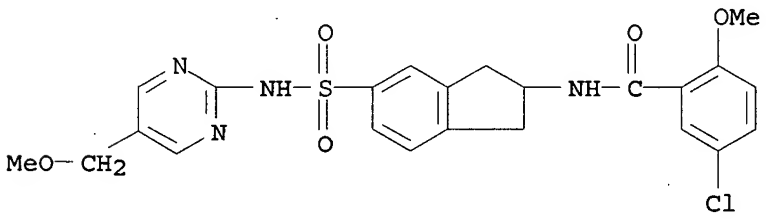
II

AB The sulfonamides I (R = cyclohexyl, MeOCH2, EtOCH2) were prepared for use as antidiabetics. Thus, II reacted with 2-amino-5-cyclohexylpyrimidine in pyridine solution to give I (R = cyclohexyl), which at 0.025 mg/kg i.v. gave 15% lowering of blood sugar in rabbits.

IT **24445-66-7P 24445-67-8P 24445-69-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidiabetic activity of)

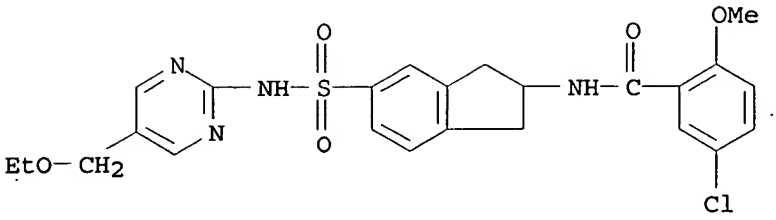
RN 24445-66-7 CAPLUS

CN Benzamide, 5-chloro-N-[2,3-dihydro-5-[[[5-(methoxymethyl)-2-pyrimidinyl]amino]sulfonyl]-1H-inden-2-yl]-2-methoxy- (9CI) (CA INDEX NAME)

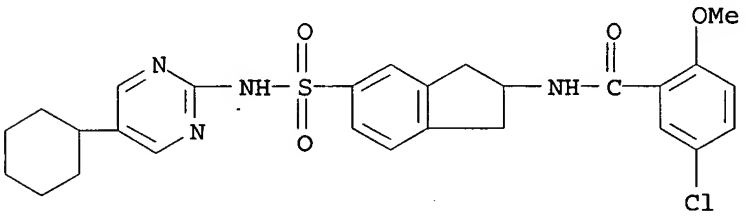


RN 24445-67-8 CAPLUS

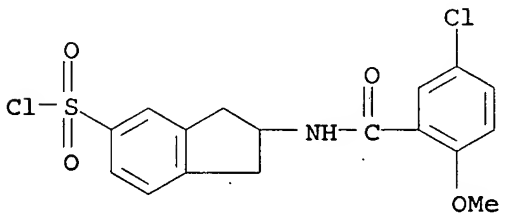
CN Benzamide, 5-chloro-N-[5-[[[5-(ethoxymethyl)-2-pyrimidinyl]amino]sulfonyl]-2,3-dihydro-1H-inden-2-yl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 24445-69-0 CAPLUS
CN Benzamide, 5-chloro-N-[5-[[(5-cyclohexyl-2-pyrimidinyl)amino]sulfonyl]-2,3-dihydro-1H-inden-2-yl]-2-methoxy- (9CI) (CA INDEX NAME)



IT **24446-19-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminopyrimidine)
RN 24446-19-3 CAPLUS
CN 1H-Indene-5-sulfonyl chloride, 2-[(5-chloro-2-methoxybenzoyl)amino]-2,3-dihydro- (9CI) (CA INDEX NAME)

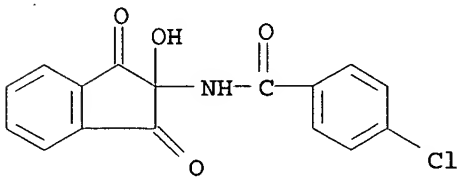


L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1973:504984 CAPLUS
DN 79:104984
TI Amidoalkylating derivatives of ninhydrins
AU Matthies, Dieter; Hain, Klaus
CS Inst. Pharm. Chem., Univ. Hamburg, Hamburg, Fed. Rep. Ger.
SO Synthesis (**1973**), (3), 154-5
CODEN: SYNTBF; ISSN: 0039-7881
DT Journal
LA German
GI For diagram(s), see printed CA Issue.
AB Ninhydrin hydrate (2,2-dihydroxy-1,3-indanedione) treated with RCONH2 (R = Me, p-ClC6H4) gave 68 and 90% I (R1 = OH), resp., which was chlorinated with SOCl2 to give 75 and 91% I, (R1 = Cl) (II), resp.; II were treated with appropriate amines, alcs., thiols and dimedone to give 65-92% I (R1 =

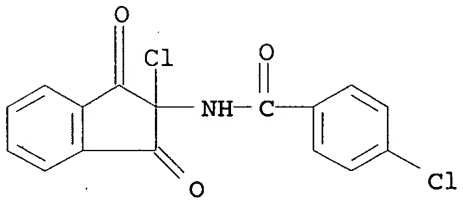
NH2, morpholino, piperidino, PhCH2NH, MeO, PhCH2S, PhNH, imidazol-1-yl, or 4,4-dimethyl-2,6-dioxocyclohexyl.

IT **42913-56-4P 42913-58-6P 42913-60-0P**
42913-62-2P 42913-64-4P 42913-66-6P
42913-68-8P 42913-70-2P 42913-71-3P
42913-72-4P 42913-73-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

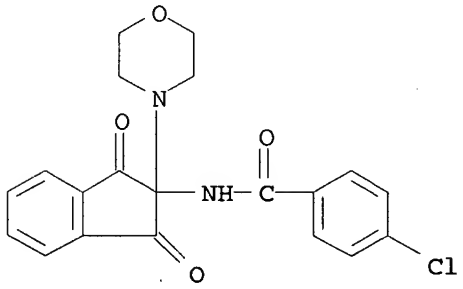
RN 42913-56-4 CAPLUS
CN Benzamide, 4-chloro-N-(2,3-dihydro-2-hydroxy-1,3-dioxo-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



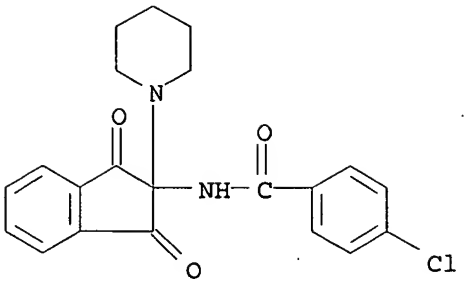
RN 42913-58-6 CAPLUS
CN Benzamide, 4-chloro-N-(2-chloro-2,3-dihydro-1,3-dioxo-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



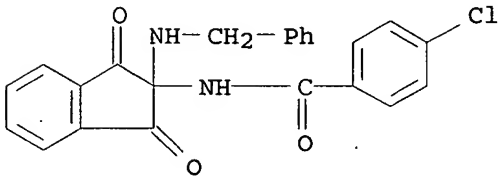
RN 42913-60-0 CAPLUS
CN Benzamide, 4-chloro-N-[2,3-dihydro-2-(4-morpholinyl)-1,3-dioxo-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



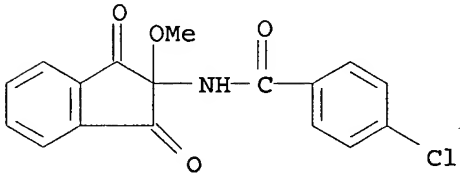
RN 42913-62-2 CAPLUS
CN Benzamide, 4-chloro-N-[2,3-dihydro-1,3-dioxo-2-(1-piperidinyl)-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



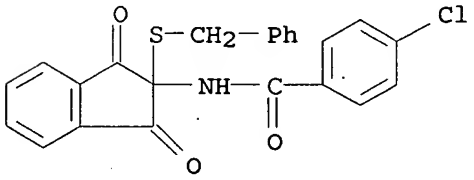
RN 42913-64-4 CAPLUS
CN Benzamide, 4-chloro-N-[2,3-dihydro-1,3-dioxo-2-[(phenylmethyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



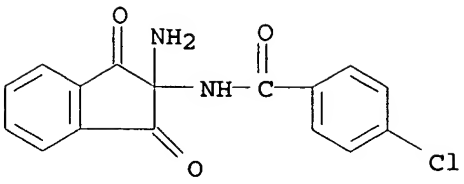
RN 42913-66-6 CAPLUS
CN Benzamide, 4-chloro-N-(2,3-dihydro-2-methoxy-1,3-dioxo-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



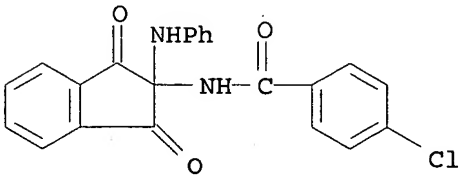
RN 42913-68-8 CAPLUS
CN Benzamide, 4-chloro-N-[2,3-dihydro-1,3-dioxo-2-[(phenylmethyl)thio]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



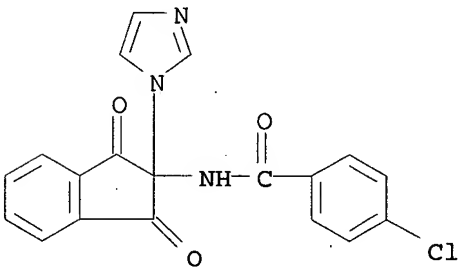
RN 42913-70-2 CAPLUS
CN Benzamide, N-(2-amino-2,3-dihydro-1,3-dioxo-1H-inden-2-yl)-4-chloro- (9CI) (CA INDEX NAME)



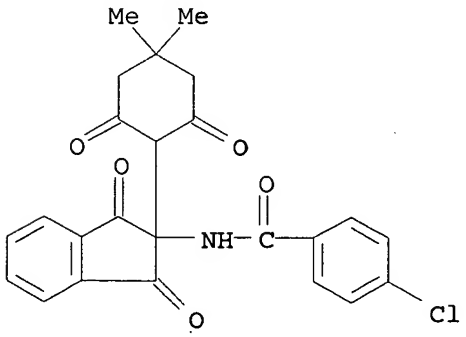
RN 42913-71-3 CAPLUS
CN Benzamide, 4-chloro-N-[2,3-dihydro-1,3-dioxo-2-(phenylamino)-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 42913-72-4 CAPLUS
CN Benzamide, 4-chloro-N-[2,3-dihydro-2-(1H-imidazol-1-yl)-1,3-dioxo-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 42913-73-5 CAPLUS
CN Benzamide, 4-chloro-N-[2-(4,4-dimethyl-2,6-dioxocyclohexyl)-2,3-dihydro-1,3-dioxo-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

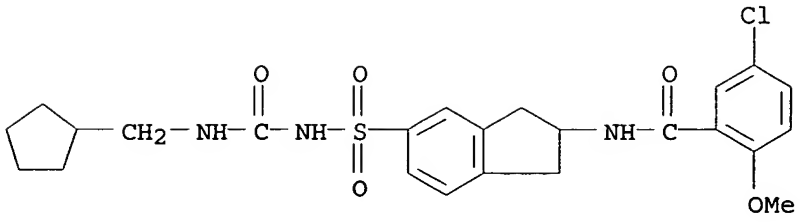


L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1973:442214 CAPLUS
DN 79:42214
TI Hypoglycemic N-sulfonyl-N'-(cyclopentylalkyl)ureas
IN Weber, Helmut; Aumueller, Walter; Weyer, Rudi; Muth, Karl; Hitzel, Volker
PA Farbwerke Hoechst A.-G.
SO Ger. Offen., 16 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2157607	A1	19730524	DE 1971-2157607	19711120 <--
	DE 2157607	B2	19790719		
	NL 7215460	A	19730522	NL 1972-15460	19721115 <--
	AU 7248931	A1	19740124	AU 1972-48931	19721116 <--
	CH 589616	A	19770715	CH 1972-16674	19721116 <--
	CH 599930	A	19780615	CH 1972-352177	19721116 <--
	CH 599931	A	19780615	CH 1972-352277	19721116 <--
	CH 599932	A	19780615	CH 1972-352377	19721116 <--
	CH 599933	A	19780615	CH 1972-352477	19721116 <--
	CH 599934	A	19780615	CH 1972-352577	19721116 <--
	CH 601212	A	19780630	CH 1972-352077	19721116 <--
	ZA 7208159	A	19730725	ZA 1972-8159	19721117 <--
	AT 7209813	A	19760215	AT 1972-9813	19721117 <--
	AT 332880	B	19761025		
	CA 991188	A1	19760615	CA 1972-156783	19721117 <--
	BE 791638	A1	19730521	BE 1972-124379	19721120 <--
	FR 2160669	A1	19730629	FR 1972-41131	19721120 <--
	JP 48061455	A2	19730828	JP 1972-116509	19721120 <--
	JP 54038093	B4	19791119		
	GB 1414514	A	19751119	GB 1972-53512	19721120 <--
	US 3927088	A	19751216	US 1972-308002	19721120 <--
	US 3984416	A	19761005	US 1975-581764	19750529 <--
	AT 7504365	A	19760915	AT 1975-4365	19750609 <--
	AT 336632	B	19770510		
	AT 7504366	A	19770715	AT 1975-4366	19750609 <--
PRAI	DE 1971-2157607	A	19711120		
	AT 1972-9813	A	19721117		
	US 1972-308002	A3	19721120		

GI For diagram(s), see printed CA Issue.
AB Twenty-six title compds., XCONHQSO2NHCONH(CH2)nZ [I; X = aryl, e.g. 5,2-Me(MeO)C6H3, 3-alkoxy-2-thienyl, or 6-chloro-8- quinolyl; Q = Q1 (with R1 = R2 = H or R1 ≠ R2 = H or Me), Q2, or Q3; R = H, 2-Et, or 3-Me; n = 1 or 2] were prepared either by reaction of XCONHQSO2NHCO2Me with H2N(CH2)nZ, or of XCONHQ3SO2NH2 with Z(CH2)nNCO, or of H2NQ2SO2NHCONH(CH2)nZ with XCOCl. Some I lowered blood sugar levels by >50%.
IT **42079-28-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 42079-28-7 CAPLUS
CN Benzamide, 5-chloro-N-[5-[[[[(cyclopentylmethyl)amino]carbonyl]amino]sulfonyl]-2,3-dihydro-1H-inden-2-yl]-2-methoxy- (9CI) (CA INDEX NAME)

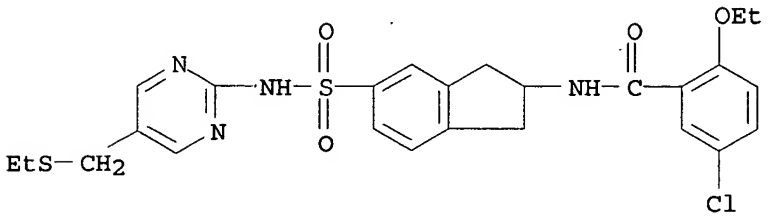


L5 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1972:434561 CAPLUS
DN 77:34561
TI N-[5-[(Alkylthio)alkyl]-2-pyrimidinyl]-4-[2-(acylamino)ethyl]benzenesulfonamides
IN Huebner, Manfred; Heerdt, Ruth; Schmitd, Felix Helmut; Stach, Kurt; Weyer, Rudi
PA Boehringer Mannheim G.m.b.H.
SO Ger. Offen., 19 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2048906	A	19720413	DE 1970-2048906	19701006 <--
	GB 1310198	A	19730314	GB 1971-46098	19711004 <--
	CH 567000	A	19750930	CH 1971-14424	19711004 <--
	CH 568984	A	19751114	CH 1975-8757	19711004 <--
	CH 568985	A	19751114	CH 1975-8758	19711004 <--
	CH 568986	A	19751114	CH 1975-8759	19711004 <--
	AT 311361	B	19731112	AT 1971-8601	19711005 <--
	AT 311367	B	19731112	AT 1972-10136	19711005 <--
	AT 311368	B	19731112	AT 1972-10138	19711005 <--
	AT 313908	B	19740311	AT 1972-10137	19711005 <--
	FR 2110250	A5	19720602	FR 1971-35933	19711006 <--
	FR 2110250	B1	19750606		
PRAI	DE 1970-2048906	A	19701006		

GI For diagram(s), see printed CA Issue.
AB Thirteen title compds. I (R = e.g. 5-methyl-3-isoxazolyl, 9-fluorenylmethyl, and substituted phenyl; R1 = Me, Et, Pr, or iso-Pr; n = 1 or 2), 2-(5-chloro-2-ethoxybenzamido)-N-[5-[(ethylthio)methyl]-2-pyrimidinyl]-5-indansulfonamide, and 2-(5-chloro-2-methoxybenzamido)-N-[5-[(methylthio)methyl]-2-pyrimidinyl]-1,2,3,4-tetrahydro-7-naphthalenesulfonamide, useful as antidiabetics, were prepared by reaction of acyl chlorides with the 4-(aminoethyl)benzenesulfonamides, of benzenesulfonyl chlorides with 2-aminopyrimidines, of (phenylsulfonyl)guanidines with COCl2, DMF, and EtSCH2CH2CH(OEt)2 (II), or of benzenesulfonamides with 2-(trimethylammonio)pyrimidine chlorides. Thus, refluxing 5-methyl-3-isoxazolecarboxylic acid with SOCl2 and DMF 1 hr in C6H6 gave the chloride, which on reaction with 4-(2-aminoethyl)-N-[5-[(ethylthio)methyl]-2-pyrimidinyl]benzenesulfonamide in aqueous NaOH gave 56% I (R = 5-methyl-3-isoxazolyl, R1 = Et, n = 1). Reaction of EtSCH2CH2CHO, prepared by addition of EtSH to acrolein, with HC(OEt)3 gave II which was treated with p-[5,2-Cl(MeO)C6H3CONHCH2CH2-C6H4SO2NHC(:NH)NH2, COCl2, and DMF to give I (R = 5,2-Cl(MeO)C6H3, R1 = Et, n = 1).
IT **37795-62-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
RN 37795-62-3 CAPLUS
CN Benzamide, 5-chloro-2-ethoxy-N-[5-[[[5-[(ethylthio)methyl]-2-pyrimidinyl]amino]sulfonyl]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1970:12763 CAPLUS
DN 72:12763
TI Anti-diabetically effective 2-substituted-N-(5-substituted-2-pyrimidinyl)hydrindene-5-sulfonamides
IN Heerdt, Ruth; Huebner, Manfred; Schmidt, Felix Helmut; Stach, Kurt; Muth, Karl
PA Boehringer Mannheim G.m.b.H.
SO S. African, 26 pp.
CODEN: SFXXAB
DT Patent
LA English
FAN.CNT 1

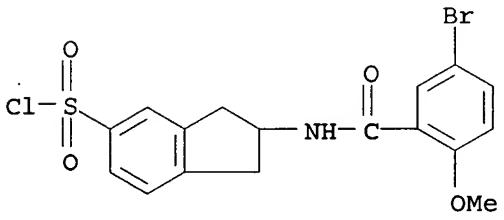
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	ZA 6806875		19690326			<--
	CA 950913			CA		
	DE 1670282			DE		
	FR 1592146			FR		
	FR 8133			FR		
	GB 1171070			GB		
	US 3565897		19710000	US		<--
PRAI	DE		19671024			

GI For diagram(s), see printed CA Issue.
AB The title compds. (I, R = Et, Pr, PrO, iso-Pr, MeOCH2, EtOCH2, PhCH2, PrS, EtO, cyclohexylmethyl, cyclohexyl, cyclohexyloxy, or 5,6,7,8-tetrahydroquinazolinyl; R1 = H or Me; R2 = 2,5-(MeO)ClC6H3, 2,5-(MeO)BrC6H3, cyclohexyl, m-MeC6H4, m-ClC6H4, PhSCH2, 3-methoxy-2-thienyl, 2-furyl, PhOCH2, Me(o-MeC6H4)N, o-MeOC6H4, 3-chloro-2-thienyl, PhCH2CH2, m-F3CC6H4, m-FC6H4, 2,5-(MeO)ClC6H3CH2CH2, or PhCH2O) are prepared by reacting II (X = COR2, Y = Cl; X = H, Y = 2-pyrimidinylamino; X = R2CO, Y = H2N) with the appropriate 2-aminopyrimidine, R2COCl, and 2-chloropyrimidine, resp. For example, sulfochlorination of 2-(5-chloro-2-methoxybenzamido)hydrindene gave II (X = 2,5-(MeO)ClC6H3CO, Y = Cl) (III), m. 133°. III (3.2 g) was added to 1.23 g 2-amino-5-propoxypyrimidine in 5 ml anhydrous pyridine, and the mixture kept overnight and heated 2 hr on a steam bath to give 75% I (R = PrO, R1 = H, R2 = 5,2-(MeO)C6H3), m. 122-4°. Alkaline hydrolysis of I (R = iso-Bu, R1 = H, R2 = OEt) gave 5-(5-isobutyl-2-pyrimidinylaminosulfonyl)-2-aminohydrindene (IV), 235-40°. A solution of 2 g IV in 3.4 ml 2N NaOH and 5 ml water was treated with 1.2 g 1-indolinecarbonyl chloride in 10 ml CH2Cl2 to give 59.8% I (r = iso-Bu,

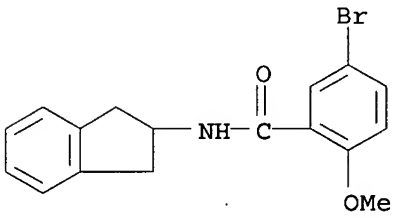
R1 = H, R3 = 1-indolinyl), m. 247-9°. A mixture of 2.3 g II (X = PhCH2CH2CO, Y = NH2), 1.15 g 2-chloro-5-isobutylpyrimidine and 0.9 g K2CO3 was heated to 190° to give I (R = iso-Bu, R1 = H, R2 = PhCH2CH2), m. 202-4°.

IT **24445-39-4P 24445-40-7P 24445-41-8P**
24445-49-6P 24445-61-2P 24445-65-6P
24445-66-7P 24445-67-8P 24445-68-9P
24445-69-0P 24445-70-3P 24446-19-3P
24446-20-6P 24446-21-7P 24446-22-8P
24446-23-9P 24446-24-0P 24446-29-5P
24446-30-8P 24446-31-9P 24446-32-0P
24506-08-9P 24506-09-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

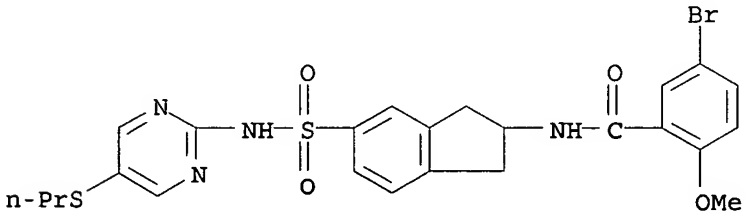
RN 24445-39-4 CAPLUS
CN 5-Indansulfonyl chloride, 2-(5-bromo-o-anisamido)- (8CI) (CA INDEX NAME)



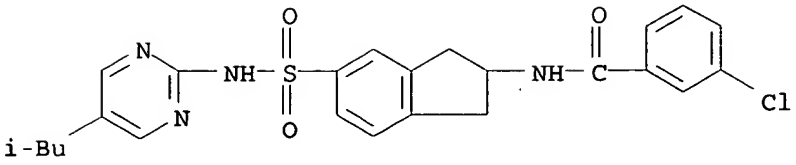
RN 24445-40-7 CAPLUS
CN o-Anisamide, 5-bromo-N-2-indanyl- (8CI) (CA INDEX NAME)



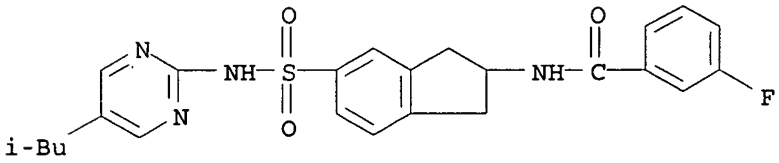
RN 24445-41-8 CAPLUS
CN o-Anisamide, 5-bromo-N-[5-[[5-(propylthio)-2-pyrimidinyl]sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



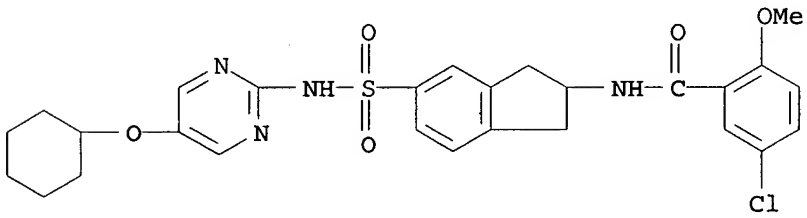
RN 24445-49-6 CAPLUS
CN Benzamide, m-chloro-N-[5-[(5-isobutyl-2-pyrimidinyl)sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



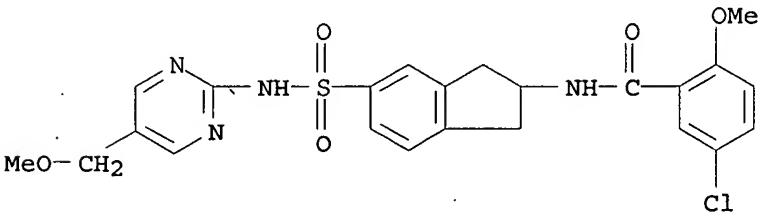
RN 24445-61-2 CAPLUS
CN Benzamide, m-fluoro-N-[5-[(5-isobutyl-2-pyrimidinyl)sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



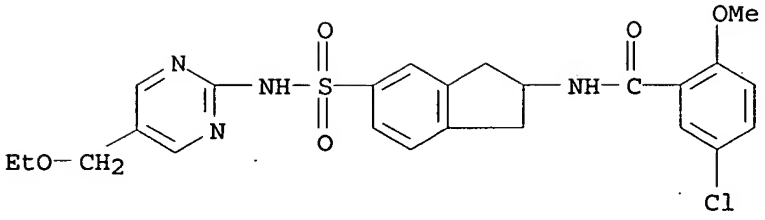
RN 24445-65-6 CAPLUS
CN o-Anisamide, 5-chloro-N-[5-[[5-(cyclohexyloxy)-2-pyrimidinyl]sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



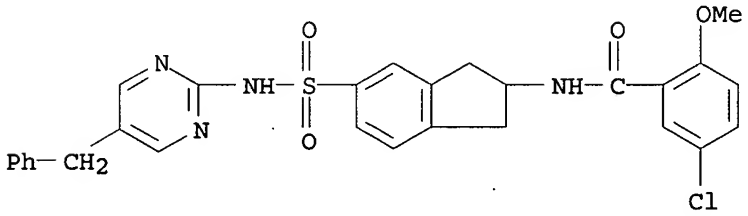
RN 24445-66-7 CAPLUS
CN Benzamide, 5-chloro-N-[2,3-dihydro-5-[[[5-(methoxymethyl)-2-pyrimidinyl]amino]sulfonyl]-1H-inden-2-yl]-2-methoxy- (9CI) (CA INDEX NAME)



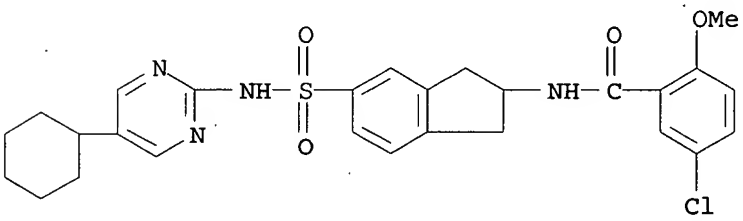
RN 24445-67-8 CAPLUS
CN Benzamide, 5-chloro-N-[5-[[[5-(ethoxymethyl)-2-pyrimidinyl]amino]sulfonyl]-2,3-dihydro-1H-inden-2-yl]-2-methoxy- (9CI) (CA INDEX NAME)



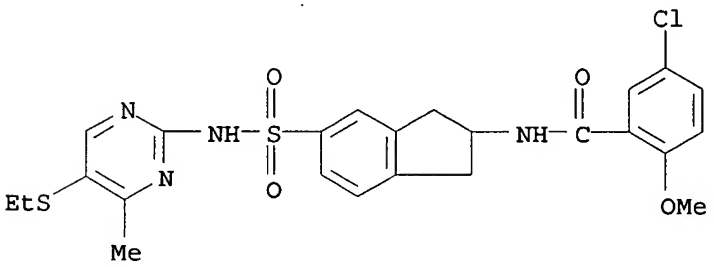
RN 24445-68-9 CAPLUS
CN o-Anisamide, N-[5-[(5-benzyl-2-pyrimidinyl)sulfamoyl]-2-indanyl]-5-chloro- (8CI) (CA INDEX NAME)



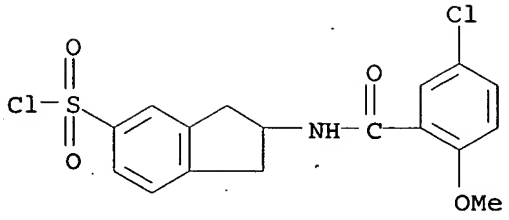
RN 24445-69-0 CAPLUS
CN Benzamide, 5-chloro-N-[5-[[(5-cyclohexyl-2-pyrimidinyl)amino]sulfonyl]-2,3-dihydro-1H-inden-2-yl]-2-methoxy- (9CI) (CA INDEX NAME)



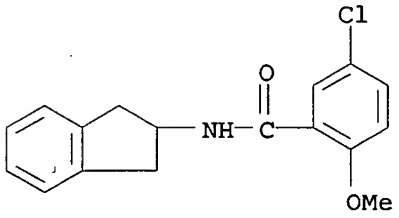
RN 24445-70-3 CAPLUS
CN o-Anisamide, 5-chloro-N-[5-[[5-(ethylthio)-4-methyl-2-pyrimidinyl]sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



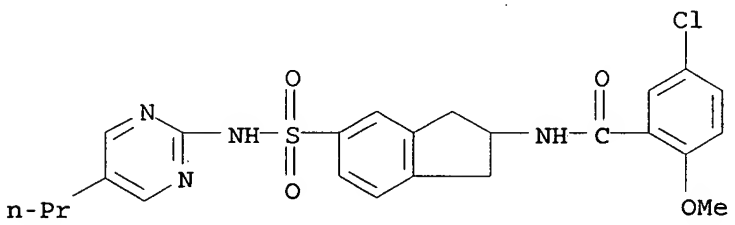
RN 24446-19-3 CAPLUS
CN 1H-Indene-5-sulfonyl chloride, 2-[(5-chloro-2-methoxybenzoyl)amino]-2,3-dihydro- (9CI) (CA INDEX NAME)



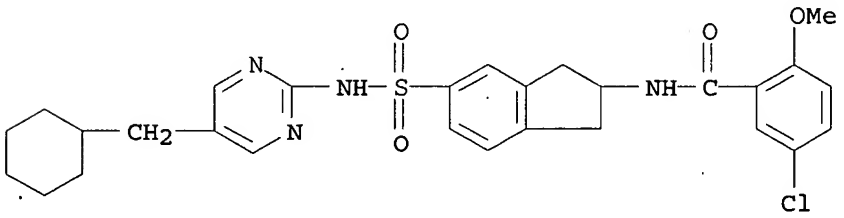
RN 24446-20-6 CAPLUS
CN o-Anisamide, 5-chloro-N-2-indanyl- (8CI) (CA INDEX NAME)



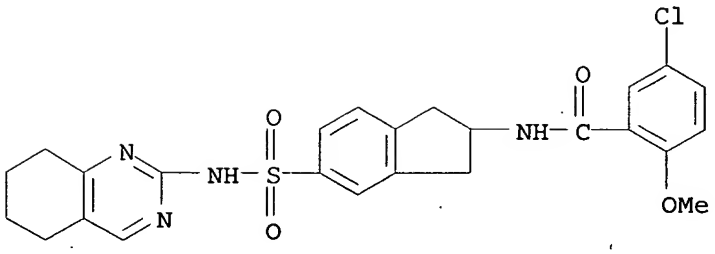
RN 24446-21-7 CAPLUS
CN o-Anisamide, 5-chloro-N-[5-[(5-propyl-2-pyrimidinyl)sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



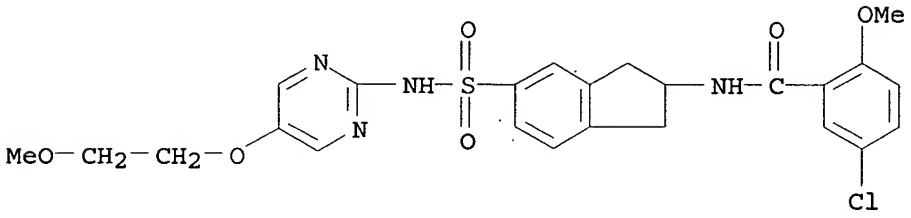
RN 24446-22-8 CAPLUS
CN o-Anisamide, 5-chloro-N-[5-[[5-(cyclohexylmethyl)-2-pyrimidinyl]sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



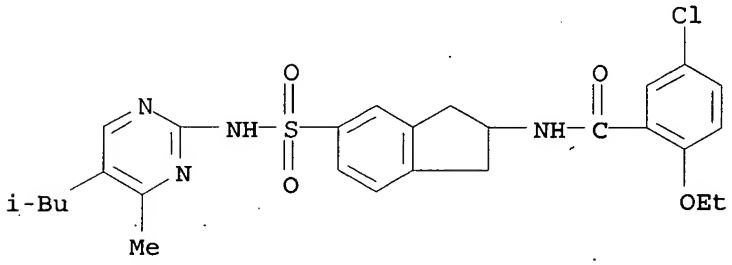
RN 24446-23-9 CAPLUS
CN o-Anisamide, 5-chloro-N-[5-[(5,6,7,8-tetrahydro-2-quinazolinyl)sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



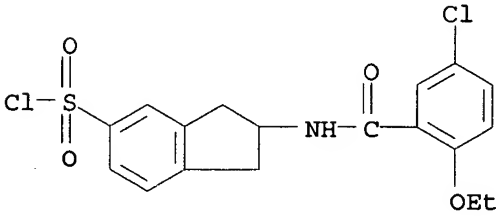
RN 24446-24-0 CAPLUS
CN o-Anisamide, 5-chloro-N-[5-[[5-(2-methoxyethoxy)-2-pyrimidinyl]sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



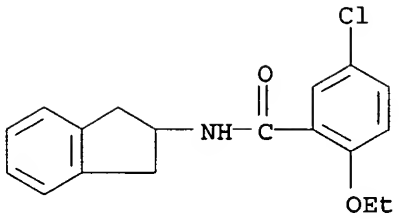
RN 24446-29-5 CAPLUS
CN Benzamide, 5-chloro-2-ethoxy-N-[5-[(5-isobutyl-4-methyl-2-pyrimidinyl)sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



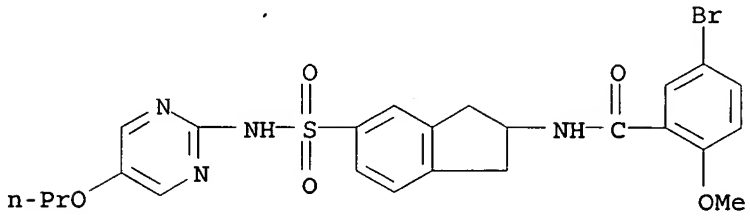
RN 24446-30-8 CAPLUS
CN 5-Indansulfonyl chloride, 2-(5-chloro-2-ethoxybenzamido)- (8CI) (CA INDEX NAME)



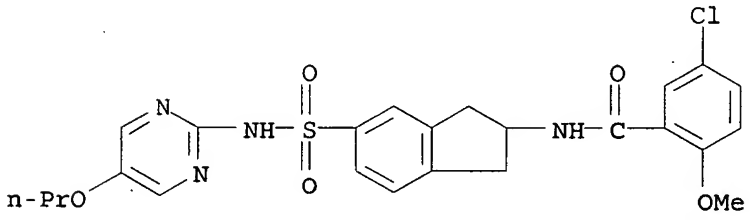
RN 24446-31-9 CAPLUS
CN Benzamide, 5-chloro-2-ethoxy-N-2-indanyl- (8CI) (CA INDEX NAME)



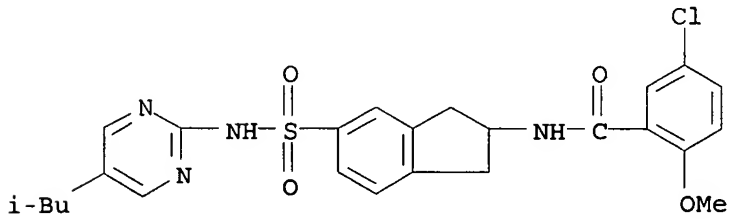
RN 24446-32-0 CAPLUS
CN o-Anisamide, 5-bromo-N-[5-[(5-propoxy-2-pyrimidinyl)sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



RN 24506-08-9 CAPLUS
CN o-Anisamide, 5-chloro-N-[5-[(5-propoxy-2-pyrimidinyl)sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



RN 24506-09-0 CAPLUS
CN o-Anisamide, 5-chloro-N-[5-[(5-isobutyl-2-pyrimidinyl)sulfamoyl]-2-indanyl]- (8CI) (CA INDEX NAME)



L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1968:506324 CAPLUS

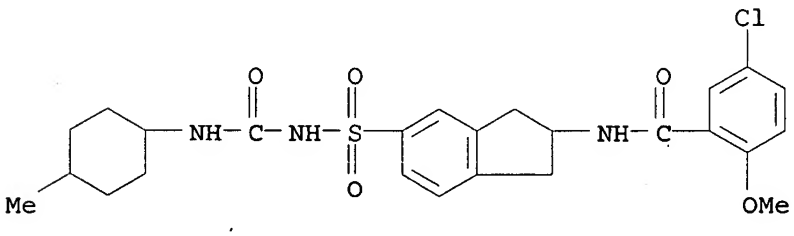
DN 69:106324
TI N-(Hydrindene-5-sulfonyl)-N-substituted-ureas
PA Boehringer, C. F., und Soehne G.m.b.H.
SO Brit., 7 pp.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	GB 1123036		19680807			<--
	DE 1294957			DE		
	FR 1530037			FR		
	US 3549645		19700000	US		<--
PRAI	DE		19660702			

GI For diagram(s), see printed CA Issue.
AB I and II, where R1 is an acyl, thioacyl, or carbamoyl group, are prepared A mixture of 3.6 g. 2-(m-chlorobenzamido)hydrindene-5-sulfonamide, 4.4 g. K2CO3, and 40 ml. Me2CO is boiled 0.5 hr., 1.4 g. cyclohexyl isocyanate is added, and the mixture is refluxed 8 hrs. to give 73% N-[2-(m-chlorobenzamido)hydrindene-5-sulfonyl]-N'-cyclohexylurea, m. 195-6°. Similarly prepared are the following I (R, R1, R2, m.p., and % yield given): cyclohexyl (A), MeO, MeO, 118-20°, 80; Bu, MeO, Br, 97° (decomposition), 65; 4-methylcyclohexyl (B), MeO, Me, 126-8°, -; cyclohex-3-enyl, MeO, Br, 191-2°, 53. II prepared are (R, R1, m.p., and % yield given): B, MePhNCO, 110° (decomposition), 60; A, PhCH2CS, 144-6°, 70; B, PhCH2CH2CO, 128-30° (decomposition), 65; B, cyclohexylcarbonyl, 193-5°, 80. II (R = A, R1 = H) is treated with 5,2-Cl(MeO)C6H3COCl to give 53% I (R = A, R1 = MeO, R2 = Cl), m. 124-6°. N-[2-(2-methoxy-5-chlorobenzamido)hydrindene-5-sulfonyl]carbamate is treated with N-amino-4,4-dimethylpiperidine (III) to give I [R = 4,4-dimethylpiperidino (C), R1 = MeO, R2 = Cl] (IV), m. 195-7°. Similarly prepared are the following I (R = Me) (R, R2, m.p., and % yield given): B, Me, 120° (decomposition), 50; C, Me, 130° (decomposition), 53; B, Cl, 187-8°, 58; 4,4-dimethylcyclohexyl, Cl, 130-2° (decomposition), 60. IV (m. 195-7°) is also prepared from the sulfonamide and III in the presence of NaH and EtO2COCO2Ph. Similarly prepared is I (R = 4-methylpiperidino, R1 = MeO, R2 = Br), m. 180-3°.

IT **20338-67-4P 20338-68-5P 20338-69-6P**
20343-34-4P 20343-36-6P 20343-41-3P
20343-42-4P 20343-43-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 20338-67-4 CAPLUS
CN Urea, 1-[[2-(5-chloro-o-anisamido)-5-indanyl]sulfonyl]-3-(4-methylcyclohexyl)- (8CI) (CA INDEX NAME)



RN 20338-68-5 CAPLUS

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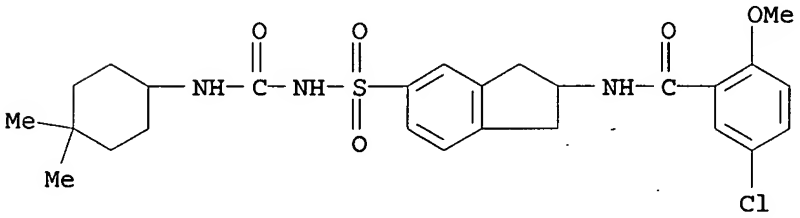
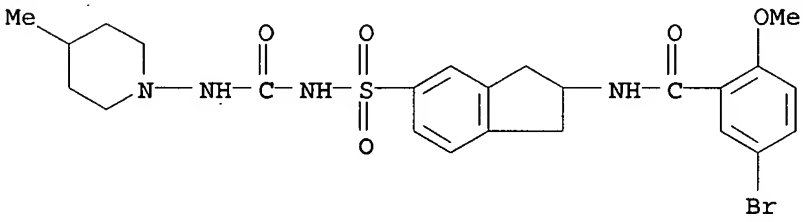


RN 20338-69-6 CAPLUS
CN Urea, 1-[[2-(5-bromo-o-anisamido)-5-indanyl]sulfonyl]-3-(4-methylpiperidino)- (8CI) (CA INDEX NAME)



RN 20343-34-4 CAPLUS
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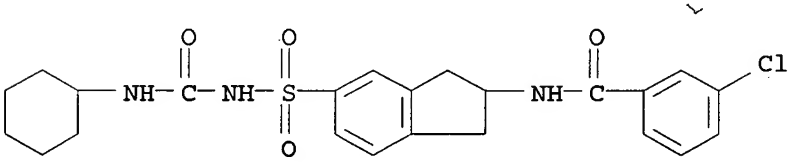
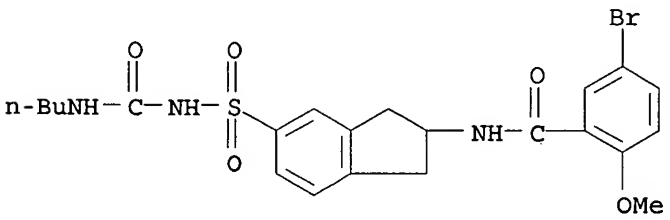
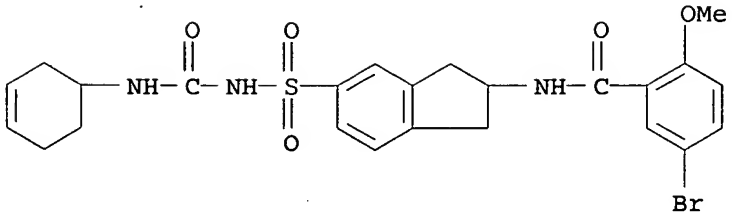


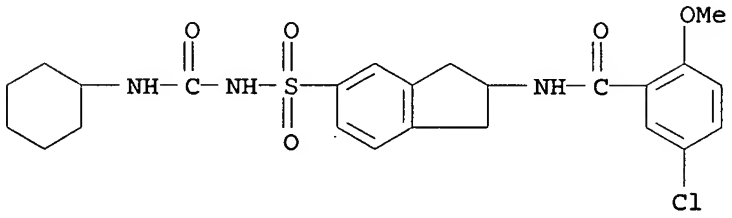
RN 20343-36-6 CAPLUS
CN Urea, 1-[[2-(5-bromo-o-anisamido)-5-indanyl]sulfonyl]-3-butyl- (8CI) (CA INDEX NAME)



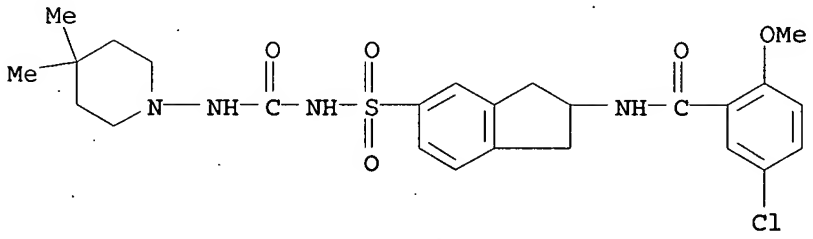
RN 20343-41-3 CAPLUS
CN Urea, 1-[[2-(5-bromo-o-anisamido)-5-indanyl]sulfonyl]-3-(3-cyclohexen-1-yl)- (8CI) (CA INDEX NAME)



RN 20343-42-4 CAPLUS
CN Urea, 1-[[2-(5-chloro-o-anisamido)-5-indanyl]sulfonyl]-3-cyclohexyl- (8CI) (CA INDEX NAME)



RN 20343-43-5 CAPLUS
CN Urea, 1-[[2-(5-chloro-o-anisamido)-5-indanyl]sulfonyl]-3-(4,4-dimethylpiperidino)- (8CI) (CA INDEX NAME)



=> s l4 not l5
L6 15 L4 NOT L5

=> dis l6 1-15 bib abs

L6 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:540574 CAPLUS
DN 143:78209
TI Preparation of piperazinylethylindanes as dopamine D2 and serotonin 5-HT2A antagonists.
IN Graham, James Michael; Kornberg, Brian Edward; Nikam, Cham Shridhar; Xie, Dejian
PA Warner-Lambert Company LLC, USA
SO PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005056540	A1	20050623	WO 2004-IB3898	20041126
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	NL 1027680	A1	20050609	NL 2004-1027680	20041207
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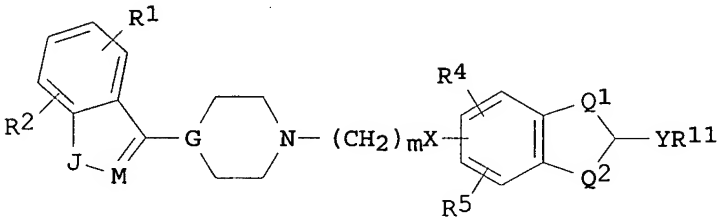
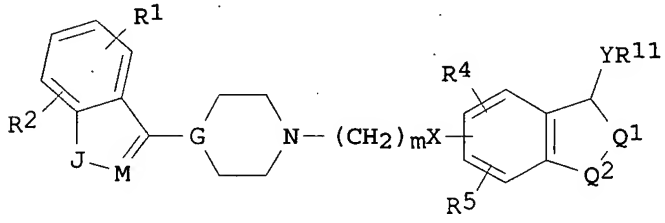
OS MARPAT 143:78209

GI



I



II

AB Title compds. [I, II; J = S, SO, SO2, O, NR10; R10 = H, alkyl, alkylcarbonyl, alkoxycarbonyl; M, G = CH, N; m = 1-6; X = null, O, NR10, CHOH, CO, etc.; R1, R2 = H, halo, cyano, alkyl, fluoroalkyl, alkoxy, fluoroalkoxy; R1R10 = atoms to form heterocyclyl; R4, R5 = H, halo, cyano, (halo-substituted) aminoalkyl, alkylaminoalkyl, alkoxy, alkoxyalkyl, etc.; R6-R9 = H, alkyl, fluoroalkyl; Y = O, NR10, (CH2)w when R11 is present; w = 1-6; Y = O, OH, NR13R14, (CH2)qMe; n, z = 1-3; q = 1-5; R11 = null, H, (substituted) alkyl, alkylsulfonyl, arylsulfonyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, heterocyclyl, etc.; n+q ≤3; Q1 = (CR6R7)z; Q2 = (CR8R9)n], were prepared Thus, N-[5-(2-chloroethyl)indan-2-yl]-2,2,2-trifluoroacetamide (preparation given), 3-(piperazin-1-yl)benzo[d]isothiazole hydrochloride, and Na2CO3 were microwaved together in H2O at 175° for 10 min. to give N-[5-[2-(4-benzo[d]isothiazol-3-ylpiperazin-1-yl)ethyl]indan-2-yl]-2,2,2-trifluoroacetamide. Title compds. showed D2 and 5-HT2A binding with Ki ≤1 μM.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

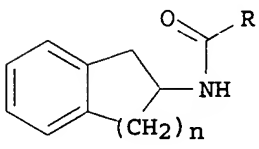
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:417415 CAPLUS
Correction of: 2005:195475
Correction of: 142:260984
TI Product class 5: α,α-dihetero-substituted ketones
AU Parrain, J.-L.; Thibonnet, J.
CS Laboratoire de Synthese Organique, Faculte des Scienes de St. Jerome, UMR C.N.R.S.6009, Universite d'Aix-Marseille, Marseille, F-13397, Fr.
SO Science of Synthesis (2005), Volume Date 2004, 26, 745-868
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal
LA English
AB A review covering methods of synthesis of the title compds.

L6 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:402555 CAPLUS
DN 142:423849
TI Endothelial nitric oxide synthase (eNOS) transcription enhancers for use in the cell therapy of ischemic heart diseases
IN Zeiher, Andreas; Dimmeler, Stefanie; Heeschen, Christopher; Ruetten, Hartmund
PA Aventis Pharma Deutschland GmbH, Germany
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1529525	A1	20050511	EP 2003-25512	20031106
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	WO 2005044249	A1	20050519	WO 2004-EP11944	20041022
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005101599	A1	20050512	US 2004-979399	20041102
PRAI	EP 2003-25512	A	20031106		
	US 2004-583622P	P	20040629		

OS MARPAT 142:423849
GI



I

AB The present invention relates to the use of compds. with formula I (where n = 1,2,3; R = Ph, F, Cl, Br, C1-3 alkyl, C1-3 alkoxymethyl, etc.) which enhance the transcription of endothelial nitric oxide synthase (eNOS) for treating stem and progenitor cells in the cell therapy of patients with ischemic heart diseases such as coronary heart disease or ischemic cardiomyopathy. Treatment of such cells which are isolated from bone marrow, for example, with an eNOS transcription enhancer prior to their administration improves their functional activity and ameliorates neovascularization of the heart and cardiac regeneration.

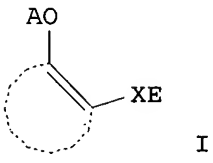
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:76258 CAPLUS
DN 142:148826
TI Chromatosis remedies
IN Itai, Akiko; Muto, Susumu
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005007151	A1	20050127	WO 2004-JP10558	20040716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2003-197807 A 20030716
OS MARPAT 142:148826
GI



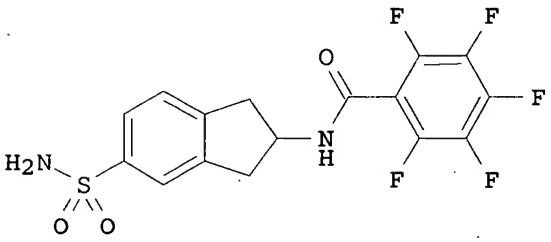
I

AB Preventive and/or therapeutic drugs for chromatosis and/or skin cancer, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts of the same, and hydrates and solvates thereof: (I) wherein X is a connecting group whose main chain has 2 to 5 atoms (which group may be substituted); A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is arene

which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -X-E (wherein X and E are each as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -X-E (wherein X and E are each as defined above).

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:880495 CAPLUS
DN 142:74325
TI Carbonic anhydrase inhibitors. Design of anticonvulsant sulfonamides incorporating indane moieties
AU Chazalette, Celine; Masereel, Bernard; Rolin, Stephanie; Thiry, Anne; Scozzafava, Andrea; Innocenti, Alessio; Supuran, Claudiu T.
CS Universite Joseph Fourier de Grenoble, Laboratoire d'Etudes Dynamiques et Structurales de la Selectivite, Domaine Universitaire, Saint-Martin d'Heres (Grenoble), 38400, Fr.
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(23), 5781-5786
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 142:74325
GI



I

AB Indanesulfonamides and indanesulfonic acids such as I are prepared as inhibitors of human carbonic anhydrases (hCA) I and II and as anticonvulsant agents; the title compds. bind to hCA I and II with Ki values of < 100 nM and have modest anticonvulsant activities. The title compds. are prepared from 1- and 2-aminoindane derivs. by acetylation, regioselective chlorosulfonylation, sulfonamidation with ammonia, hydrolysis of the acetamide, and acylation of the free amine. E.g., acetylation of 2-aminoindane hydrochloride, regioselective chlorosulfonylation of the indane with chlorosulfonic acid, reaction of the sulfonyl chloride with ammonia, and hydrolysis of the acetamide yields 2-aminoindane-5-sulfonamide; acylation of the amino group with pentafluorobenzoyl chloride (generated from the acid) provides I. The indanesulfonic acids are generated from the intermediate 2-(acetylamino)-5-indanesulfonyl chloride by hydrolysis of the sulfonyl chloride and then of the acetamido moiety. The indanesulfonamides inhibit hCA I with Ki values of 1.6 - 215 nM (all but one < 35 nM) and inhibit hCA II with Ki values of 2.3 - 52 nM; the two indanesulfonic acids tested inhibit hCA I with Ki values of 43 nM and 89 nM and inhibit hCA II with Ki values of 84 nM and 65 nM, making them some of the most potent sulfonic acid hCA inhibitors found. Neither of the indanesulfonic acids have

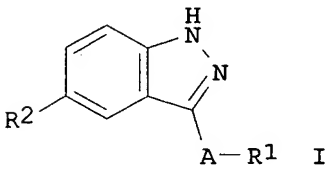
anticonvulsant activity; anticonvulsant activity for the indanesulfonamides varies from no anticonvulsant activity to moderate anticonvulsant activity (0 - 38% protection from electroshock-induced seizures in mice). E.g., I inhibits hCA I with a Ki value of 4.1 nM and hCA II with a Ki value of 6.9 nM; I protected 3 of 8 mice (38%) from elec. induced seizures.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:533982 CAPLUS
DN 141:89085
TI Preparation of indazole derivatives as JNK enzyme inhibitors
IN Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.; Buhr, Chris A.; Albers, Ronald; Sapienza, John; Plantevin, Veronique; Chao, Qi; Sahasrabudhe, Kiran; Ferri, Rachel
PA USA
SO U.S. Pat. Appl. Publ., 275 pp., Cont.-in-part of U.S. Ser. No. 910,950.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004127536	A1	20040701	US 2003-414839	20030416
	US 2002103229	A1	20020801	US 2001-910950	20010723
	US 6897231	B2	20050524		
	US 2004077877	A1	20040422	US 2003-673121	20030926
	US 2005009876	A1	20050113	US 2003-718185	20031119
	WO 2004094388	A2	20041104	WO 2004-US11958	20040416
	WO 2004094388	A3	20041209		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005107457	A1	20050519	US 2004-462	20041130
PRAI	US 2000-221799P	P	20000731		
	US 2001-910950	A2	20010723		
	US 2003-414839	A2	20030416		

OS MARPAT 141:89085
GI



I

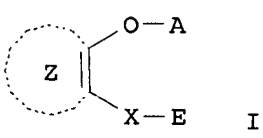
AB Indazole derivs. I [A = a bond, (CH2)a, (CH2)bCH:CH(CH2)c,

(CH2)bC.tplbond.C(CH2)c; R1 = (un)substituted aryl, heteroaryl or heterocycle fused to Ph; R2 = R3, R4, (CH2)bC(O)R5, (CH2)bC(:O)OR5, (CH2)bC(O)NR5R6, (CH2)bC(O)NR5(CH2)cC(O)R6, (CH2)bNR5C(O)R6, (CH2)bNR5C(O)NR6R7, (CH2)bNR5R6, (CH2)bOR5, (CH2)bSOdR5 or (CH2)bSO2NR5R6; a = 1-6; b, c = 0-4; d = 0-2; R3 = halo, OH, CO2H, carboxy, etc.; R4 = (un)substituted alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, or R4 = halo or OH; R5-R7 = H, (un)substituted alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl; with the provisos] having activity as selective inhibitors of JNK, are disclosed. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. containing one or more compds. of the above compds. Many of the claimed compds. have IC50 values ≤0.5 μM in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of preparation are not claimed, >400 example prepns. are included.

L6 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:991345 CAPLUS
DN 140:42216
TI Preparation of phenol or phenyl acetate derivatives for treatment of allergic diseases
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 418 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103665	A1	20031218	WO 2003-JP7120	20030605
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2488367	AA	20031218	CA 2003-2488367	20030605
	EP 1514544	A1	20050316	EP 2003-730831	20030605
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	JP 2002-165148	A	20020606		
	WO 2003-JP7120	W	20030605		

OS MARPAT 140:42216
GI



I

AB The title compds. I [wherein X = a connecting group; A = H or acetyl; E =

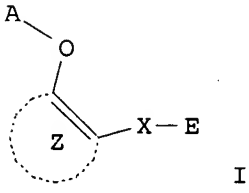
(un)substituted aryl or heteroaryl; ring Z = (un)substituted arene or heteroarene] and pharmaceutically acceptable salts, hydrates, and solvates thereof are prepared for the treatment of allergic diseases, endometriosis, and/or hysteromyoma (no data). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamides, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared The compds. I exhibited inhibitory activities against IgE production, cell proliferation, and cell degranulation.

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:991339 CAPLUS
DN 140:42204
TI Preparation of immunity-related protein kinase inhibitors
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103658	A1	20031218	WO 2003-JP7130	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487900	AA	20031218	CA 2003-2487900	20030605
EP 1510210	A1	20050302	EP 2003-730840	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI JP 2002-164525	A	20020605		
WO 2003-JP7130	W	20030605		

OS MARPAT 140:42204
GI



I

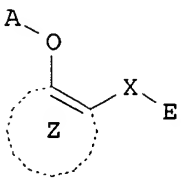
AB The title compds. I [X is a connecting group whose main chain has 2 to 5 atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is arene which may have a substituent in addition to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above)] are prepared Compds. of this invention in vitro at 1 μg/mL gave 90% to 92.6% inhibition of NF-κB activation.

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:991330 CAPLUS
DN 140:27850
TI Preparation of phenol or phenyl acetate derivatives as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103648	A1	20031218	WO 2003-JP7131	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488342	AA	20031218	CA 2003-2488342	20030605
EP 1510207	A1	20050302	EP 2003-730841	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI JP 2002-164524	A	20020605		
WO 2003-JP7131	W	20030605		

OS MARPAT 140:27850
GI



I

AB Disclosed are medicines for the prevention and/or treatment of diabetes

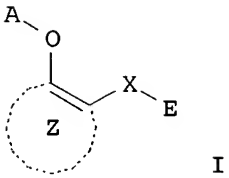
and/or diabetes complications, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E). Also disclosed are medicines possessing insulin-resistance improving, hyperinsulinemia improving, and/or hyperglycemia improving activity. A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared The compds. I improve insulin resistance by specifically inhibiting IKK-β (I κB kinase β).

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:991329 CAPLUS
DN 140:27849
TI Preparation of phenol or phenyl acetate derivatives as inhibitors against the activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT)
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103647	A1	20031218	WO 2003-JP7129	20030605
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2487891	AA	20031218	CA 2003-2487891	20030605
	EP 1512396	A1	20050309	EP 2003-730839	20030605
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	JP 2002-164526	A	20020605		
	WO 2003-JP7129	W	20030605		

OS MARPAT 140:27849
GI



I

AB Disclosed are medicines for inhibiting the activation of AP-1 or NFAT, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamides, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared The compds. I can exhibit the inhibitory activity against releasing inflammatory cytokines, inflammatory activity, immunosuppressant activity, and antiallergic activity based on inhibiting the activation of AP-1 or NFAT.

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:512083 CAPLUS
DN 139:85647
TI Preparation of amino acid derivatives as probes for drug discovery
IN Mjalli, Adnan M. M.; Wysong, Chris; Baudry, Jerome; Yokum, Thomas Scott; Andrews, Rob; Banner, William K.
PA USA
SO U.S. Pat. Appl. Publ., 165 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003125315	A1	20030703	US 2002-120278	20020411
	CA 2442654	AA	20021010	CA 2002-2442654	20020410
	WO 2003084997	A1	20031016	WO 2002-US11624	20020410
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1383799	A1	20040128	EP 2002-728761	20020410

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

	CN 1533400	A	20040929	CN 2002-808037	20020410
	JP 2005520171	T2	20050707	JP 2003-582191	20020410
PRAI	US 2001-282759P	P	20010410		
	WO 2002-US11624	W	20020410		

OS MARPAT 139:85647

AB Aspects of the invention include probes, methods, and systems that have stand-alone utility and may comprise features of a drug discovery system or method. An embodiment of the invention utilizes sets of probes and a new approach to computational chemical in a drug discovery method having increased focus in comparison to previously utilized combinatorial chemical The claims describe probes which comprise a framework, an input fragment, and a recognition element, e.g., R9R10CHCHR1R2-G2 [R1, R2 = alk(en)(yn)yl, cycloalkyl, heterocyclyl, aryl, heteroaryl, or H; or R1R2 = :O; R9 = alk(en)(yn)yl, cycloalkyl, heterocyclyl, aryl, heteroaryl, alkylaryl, alkylheteroaryl, or H; R10 = any group given for R9 except H or the side chain of a natural or non-natural α-amino acid in which any functional groups may be protected; G2 = -O-L15-R20 or -N(L16-R22)L17-R21, where L15, L16, and L17 are alk(en)(yn)ylene, cycloalk(en)ylene, arylene, heterocyclylene, heteroarylene, fused cycloalkylarylene, fused cycloakylheteroarylene, fused heterocyclylarylene, fused heterocyclylheteroarylene, or a direct bond and R20, R21, and R22 are alk(en)(yn)yl, cycloalk(en)yl, heterocyclyl, heteroaryl, aryl, fused cycloalkylaryl, amino groups, H, etc.]. The synthesis of a thrombin inhibitory library is described. Probe 3-indazolecarboxylic acid [[(α-methylbenzyl)amino]carbonyl](4-piperidinyl)methylamide (claimed compound) was prepared from N-Fmoc-amino(N-Boc-4-piperidinyl)acetic acid (Fmoc = fluorenylmethoxycarbonyl, Boc = tert-butoxycarbonyl), methylbenzylamine, and 3-indazolecarboxylic acid and showed 40-74% inhibition of thrombin at 100 μM.

L6 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:637636 CAPLUS

DN 137:185515

TI Preparation of acylated indanyl amines and their use as remedies in upregulation of endothelial nitric oxide synthase

IN Strobel, Hartmut; Wohlfart, Paulus; Safarova, Alena; Walser, Armin; Suzuki, Teri; Dharanipragada, Ramalinga M.

PA Aventis Pharma Deutschland GmbH, Germany

SO PCT Int. Appl., 137 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002064545	A1	20020822	WO 2002-EP1444	20020212
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2437944	AA	20020822	CA 2002-2437944	20020212
	EE 200300369	A	20031015	EE 2003-369	20020212
	EP 1373191	A1	20040102	EP 2002-722067	20020212

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR	2002007211	A	20040127	BR 2002-7211	20020212
CN	1491207	A	20040421	CN 2002-804836	20020212
JP	2004518719	T2	20040624	JP 2002-564478	20020212
NZ	527470	A	20050429	NZ 2002-527470	20020212
US	2003055093	A1	20030320	US 2002-73160	20020213
ZA	2003005413	A	20040428	ZA 2003-5413	20030714
BG	108076	A	20050531	BG 2003-108076	20030807
NO	2003003565	A	20031013	NO 2003-3565	20030812
PRAI EP	2001-102850	A	20010213		
WO	2002-EP1444	W	20020212		

OS MARPAT 137:185515

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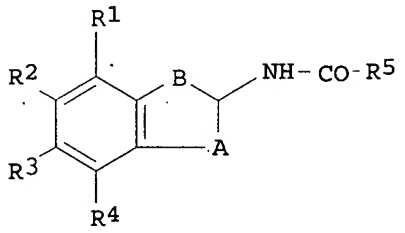

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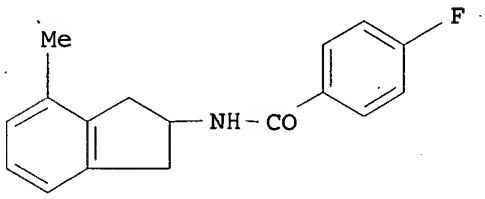

II

AB Title compds. [I; R1-R4 =; A = CH2, CHOH, CH(C1-C3-alkyl); B = CH2, CH(C1-C3-alkyl); R5 = aryl, heteroaryl] are prepared and are useful in the upregulation of endothelial nitric oxide synthase (eNOS). Title compds. I may therefore be useful for the manufacture of medicaments for the treatment of cardiovascular diseases, stable or unstable angina pectoris, coronary heart disease, Prinymetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA(percutaneous trans-luminal coronary angioplasty), hypertension, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes or diabetes complications, nephropathy or retinopathy, angiogenesis, asthma bronchial, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance, a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptives. Thus, the title compound II was prepared from 2-amino-4-methylindane and 4-fluorobenzoyl chloride, purified by HPLC and was in vitro tested on human umbilical vein cord endothelial cells for activation effect of eNOS transcription with EC-50(μM) = 6.0 and TIR(max) = 2.80.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:637530 CAPLUS
DN 137:163839
TI 4-Fluoro-N-indan-2-yl benzamide and its use as pharmaceutical
IN Wohlfart, Paulus; Suzuki, Teri; Dharanipragada, Ramalinga M.; Safarova, Alena; Walser, Armin; Strobel, Hartmut
PA Aventis Pharma Deutschland GmbH, Germany
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002064146	A1	20020822	WO 2002-EP1443	20020212
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2437966	AA	20020822	CA 2002-2437966	20020212
	EE 200300368	A	20031015	EE 2003-368	20020212
	EP 1361882	A1	20031119	EP 2002-722066	20020212
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002007178	A	20040330	BR 2002-7178	20020212
	CN 1496264	A	20040512	CN 2002-804863	20020212
	JP 2004518713	T2	20040624	JP 2002-563939	20020212
	NZ 527469	A	20050429	NZ 2002-527469	20020212
	US 2003022939	A1	20030130	US 2002-73330	20020213
	US 6617359	B2	20030909		
	ZA 2003005412	A	20040902	ZA 2003-5412	20030714
	BG 107994	A	20040831	BG 2003-107994	20030715
	US 2004019114	A1	20040129	US 2003-623775	20030722
	US 6812253	B2	20041102		
	NO 2003003546	A	20030924	NO 2003-3546	20030811
	US 2005054729	A1	20050310	US 2004-920395	20040818
PRAI	EP 2001-102852	A	20010213		
	WO 2002-EP1443	W	20020212		
	US 2002-73330	A1	20020213		
	US 2003-623775	A1	20030722		

AB The present invention relates to 4-fluoro-N-indan-2-yl benzamide according to the formula (I), and its use as pharmaceutical for stimulating expression of endothelial NO synthase. The compound (I) can be used for the therapy and prophylaxis of cardiovascular diseases like stable and unstable angina pectoris, Prinzmetal angina (spasm), acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease PAOD, atherosclerosis, restenosis, endothel damage after PTCA, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, and the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptive, the therapy and propylaxis of diabetes and diabetes complications (nephropathy, retinopathy), angiogenesis, asthma bronchiale, chronic renal failure, cirrhosis of the liver, restricted memory performance or a restricted ability to learn.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:487387 CAPLUS
DN 137:63257
TI Preparation of benzamides as inhibitors of production and release of inflammatory cytokines
IN Muto, Susumu; Nagano, Tatsuo; Saotome, Tomomi; Itai, Akiko
PA Institute of Medicinal Molecular Design Inc., Japan
SO PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002049632	A1	20020627	WO 2001-JP11084	20011218
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2431083	AA	20020627	CA 2001-2431083	20011218
	AU 2002022683	A5	20020701	AU 2002-22683	20011218
	EP 1352650	A1	20031015	EP 2001-271124	20011218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2004259877	A1	20041223	US 2004-433619	20040219
PRAI	JP 2000-383202	A	20001218		
	WO 2001-JP11084	W	20011218		

OS MARPAT 137:63257
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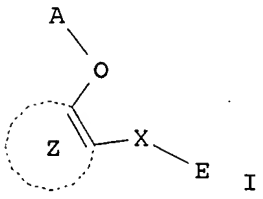

I

AB The title compds. I (wherein X is a connecting group; A is hydrogen or acetyl; E is aryl or heteroaryl; and Z is arene or heteroarene) are prepared In an in vitro test using cells, 5-chloro-2-hydroxy-N-(4-methoxynaphthalen-2-yl)benzamide at 1 μg/mL gave 95.1% inhibition of NF-κB activation.
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:628105 CAPLUS
DN 133:222452
TI Aryl and heteroaryl amide compounds for the potentiation of cholinergic activity
IN Yamada, Akira; Aoki, Satoshi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000051970	A1	20000908	WO 2000-JP601	20000203
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	CA 2371827	AA	20000908	CA 2000-2371827	20000203
	AU 2000023257	A5	20000921	AU 2000-23257	20000203
	AU 766655	B2	20031023		
	EP 1159258	A1	20011205	EP 2000-902080	20000203
	EP 1159258	B1	20041110		
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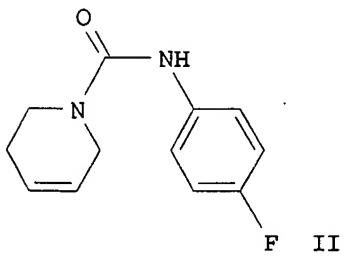
	TR 200102494	T2	20020121	TR 2001-200102494	20000203
	BR 2000010225	A	20020122	BR 2000-10225	20000203
	JP 2002538132	T2	20021112	JP 2000-602198	20000203
	RU 2211215	C2	20030827	RU 2001-126120	20000203
	AT 282022	E	20041115	AT 2000-902080	20000203
	PT 1159258	T	20050228	PT 2000-902080	20000203
	ES 2226775	T3	20050401	ES 2000-902080	20000203
	HK 1044934	A1	20050603	HK 2002-106646	20020910
	US 2003096847	A1	20030522	US 2002-285526	20021101
	US 6664293	B2	20031216		
	US 2004048904	A1	20040311	US 2003-653977	20030904
PRAI	AU 1999-8912	A	19990226		
	WO 2000-JP601	W	20000203		
	US 2001-926058	B3	20010822		
	US 2002-285526	A3	20021101		

OS MARPAT 133:222452
GI



II

AB Amide compds. (R1)(R2)X-Y-Q-R3 (I) and their salts are disclosed [wherein: R1, R2 = aryl or ar(lower)alkyl, or are taken together to form lower alkylene or lower alkenylene, each of which may be substituted with aryl or may be condensed with a cyclic hydrocarbon optionally substituted with lower alkyl, lower alkoxy, aryl, aryloxy or halogen; R3 = lower alkyl, lower alkoxy, aryl, arylamino or aryloxy (each of which may be substituted with lower alkoxy or halogen), pyridyl, or pyridylamino; X = CH or N; Y = bond or NH; Q = CO; with provisos]. I are potentiators of cholinergic activity, and are useful as anti-amnesia or anti-dementia agents. I are thus useful for treating a variety of central nervous system conditions, e.g., Alzheimer's dementia. For instance, reaction of 1,2,3,6-tetrahydropyridine with 4-fluorophenyl isocyanate in THF at room temperature gave title compound II. Selected compds. I were active in a rat penile erection assay at doses of 0.1-0.32 mg/kg i.p.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	96.88	258.42

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-18.98	-18.98

STN INTERNATIONAL LOGOFF AT 11:23:51 ON 13 OCT 2005

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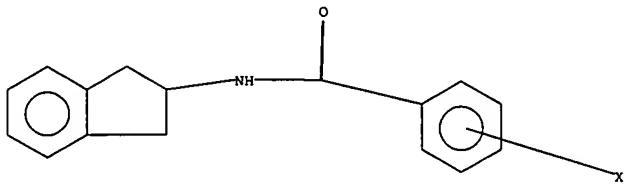

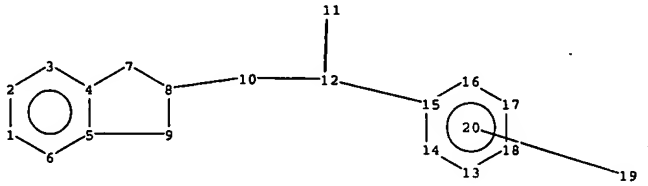

chain nodes :
10 11 12 19
ring nodes :
1 2 3 4 5 6 7 8 9 13 14 15 16 17 18
chain bonds :
8-10 10-12 11-12 12-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
8-10 10-12 11-12
exact bonds :
4-7 5-9 7-8 8-9 12-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 : 13 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS
12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS

WEST Search History

Hide Items | Restore | Clear | Cancel

DATE: Thursday, October 13, 2005

Hide?	Set Name	Query	Hit Count
		DB=PGPB; PLUR=YES; OP=ADJ	
☐	L2	(aminoindan?).clm.	7
☐	L1	(aminoindan?).clm	0

END OF SEARCH HISTORY